

L Number	Hits	Search Text	DB	Time stamp
1	9737	546/119, 546/143, 546/153, 546/159, 546/255, 546/275.4, 546/275.7, 544/124, 544/360, 514/235.5, 514/253.01, 514/303, 514/314, 514/333, 514/338, 514/340	USPAT	2004/10/05 14:54
2	8289	GSK\$ or Aurora\$	USPAT	2004/10/05 14:55
3	33	(546/119, 546/143, 546/153, 546/159, 546/255, 546/275.4, 546/275.7, 544/124, 544/360, 514/235.5, 514/253.01, 514/303, 514/314, 514/333, 514/338, 514/340) and (GSK\$ or Aurora\$)	USPAT	2004/10/05 14:55

 **PALM INTRANET**

KN

Day : Tuesday  
Date: 10/5/2004

Time: 14:50:30

## Inventor Information for 10/736426

Inventor Name	City	State/Country
BEBBINGTON, DAVID	NEWBURY	UNITED KINGDOM
CHARRIER, JEAN-DAMIEN	WANTAGE	UNITED KINGDOM

Appln Info	Contents	Petition Info	Atty/Agent Info	Continuity Data	Foreign Data
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Search Another: Application# or Patent# PCT /  / or PG PUBS # Attorney Docket # Bar Code # 

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Broad Search

Match level :

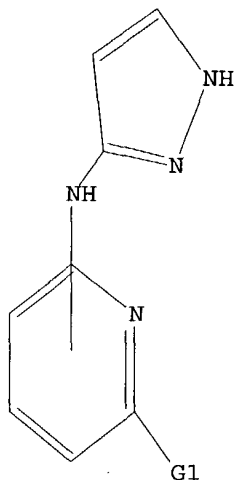
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



G1 C,O,S,N,Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 817 TO ITERATE

100.0% PROCESSED 817 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 14626 TO 18054

PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

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FULL SEARCH INITIATED 13:53:38 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 16180 TO ITERATE

100.0% PROCESSED 16180 ITERATIONS

77 ANSWERS

SEARCH TIME: 00.00.01

L3 77 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 13:53:44 ON 05 OCT 2004

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FILE COVERS 1907 - 5 Oct 2004 VOL 141 ISS 15

FILE LAST UPDATED: 4 Oct 2004 (20041004/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 13 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:370936 CAPLUS

DOCUMENT NUMBER: 140:391292

TITLE: Preparation of indazolinone compositions useful as kinase inhibitors

INVENTOR(S): Aronov, Alex; Lauffer, David J.; Li, Huan Qui;

TOMLINSON, RONALD CHARLES; LI, PAN

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 260 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

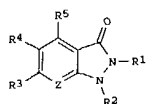
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037814	A1	20040506	WO 2003-US34065	20031027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004167121	A1	20040826	US 2003-694534	20031027
PRIORITY APPL. INFO.:			US 2002-421398P	P 20021025

OTHER SOURCE(S): MARPAT 140:391292

GI



AB The present invention provides compds. of formula (I). [Wherein R1, R2 = H or a nitrogen protecting group; one of R3 or R4 = R and the other one of

R3 or R4 = -Q1-A-Q2-Y; wherein Q1 = a valence bond, NRA, C(Ra)2, S, O, SO2, NRAO2, SO2NRA, CO, NRAO, CONRA, OC(O), OC(O)NRA, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, optionally substituted C2-4 alkylidene, etc.; wherein Ra = H, each

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

optionally substituted C1-4 aliph.; A = optionally substituted 5- to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heterocyclic, carbocyclic ring, or C2-6 alkylidene, etc.; Q2 = NRA, SO,

O,

or C(Rc)2; wherein Rc = H, optionally substituted C1-4 aliph.; Y = each optionally substituted 5- to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heterocyclic, or carbocyclic ring; R5 = R; Z = N, CR6, wherein R6 = R; R = H, halo, Q-halogen, cyano, Q-CN, NO2, Q-NO2, R7, Q-R7; Q = optionally substituted C1-4 alkylidene; wherein one or more methylene units of Q is optionally replaced by O, S, NR7, NR7CO,

NR7CONR7,

NR7CO2, CO, CO2, CONR7, OC(O)NR7, SO2, SO2NR7, NR7SO2, NR7SO2NR7, C(O)C(O), or C(O)C(R7)2C(O); wherein R7 = H, each optionally substituted aliph., heteroaliph., aryl or heteroaryl. The compds. I and pharmaceutically acceptable compns. thereof, are useful generally as protein kinase inhibitors, particularly as inhibitors of protein kinase PRAK, protein kinase GSK3, protein kinase ERK2, protein kinase CDK2, MAP kinase-activated protein kinase 2 (MK2), SRC kinase, protein kinase SYK, and protein kinase Aurora-2. Accordingly, the compds. I and compns. of the invention are useful for treating or lessening the severity of a disease or condition selected from cardiovascular disease, diabetes, neuro. disorders (e.g. Alzheimer's disease), immunodeficiency disorders, inflammatory diseases, allergic diseases, autoimmune diseases,

destructive

bone disorders such as osteoporosis, proliferative disorders, infectious diseases, and viral diseases. Thus, a soln. of (2-chloroquinazolin-4-yl)(5-cyclopropyl-1H-pyrazol-3-yl)amine (50.0 mg, 0.175 mmol) and 6-amino-3-oxo-2,3-dihydroindazole-1-carboxylic acid tert-Bu ester (69.8 mg, 0.280 mmol) in NMP (1.0 mL) was heated up to 100° for 6 h to give, after workup, acidification with CF3CO2H, and HPLC purifn., 6-[[4-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]quinazolin-2-yl]amino]-1,2-dihydroindazol-3-one trifluoroacetate. Some compds. of the formula I were

shown to have Ki of &lt;0.1 μM for GSK-3 and Aurora-2 and &lt;1.0 μM for

CDK-2, ERK2, PRAK, SRC, SYK, and MK2.

IT

685867-13-4P, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-15-6P, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-16-7P, 6-[[5-Amino-6-[(5-

cyclopropyl-1H-pyrazol-3-yl)amino]pyridin-2-yl]amino]-1,2-dihydroindazol-3-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of indazolinone derivs. as kinase inhibitors for treating or lessening severity of diseases or conditions)

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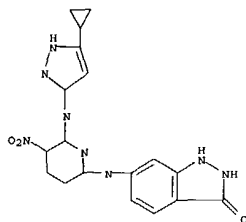
685867-13-4 CAPLUS

CN

3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

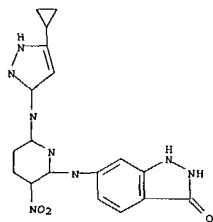
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RN 685867-15-6 CAPLUS

CN 3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)



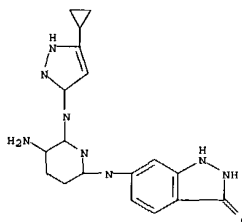
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RN 685867-16-7 CAPLUS

CN 3H-Indazol-3-one, 6-[[5-amino-6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)



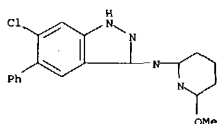
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L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2004:220319 CAPLUS  
 DOCUMENT NUMBER: 140:253562  
 TITLE: Preparation of aminoindazoles as protein Tau phosphorylation inhibitors, their drugs and pharmaceutical compositions containing them for treatment, in particular, of central and peripheral nervous system diseases  
 INVENTOR(S): Lousisset, Dominique; Dutruc-Roussel, Gilles; Halley, Franck; Babin, Didier; Rooney, Thomas  
 PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.  
 SOURCE: PCT Int. Appl., 71 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022544	A1	20040318	WO 2003-FR2633	20030903
WO 2004022544	C1	20040422		
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FR 2844267	A1	20040312	FR 2002-10962	20020905
PRIORITY APPLN. INFO.:			FR 2002-10962	A 20020905
			US 2002-419965P	P 20021022

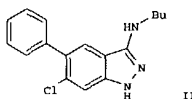
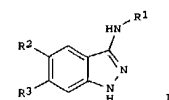
OTHER SOURCE(S): MARPAT 140:253562  
 GI

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 peripheral nervous system diseases)  
 RN 670749-54-9 CAPLUS  
 CN 1H-Indazol-3-amine, 6-chloro-N-(6-methoxy-2-pyridinyl)-5-phenyl- (9CI)  
 (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



AB Title compds. I [wherein R1 = CONH2 and deriva., CO2H and deriva., SO2H and deriva., HC(NH) and deriva., C(NH)NH and deriva., (un)substituted aryl/heteroaryl/heterocyclo/cyclo/polycyclo/alkyl, hetero/aryl, fused aryl or heteroaryl, heterocyclyl, adamantyl, alkenyl, alkynyl; R2, R3 = independently halo, CN, NO2, NH2, OH, CO2H and deriva., NH2 and deriva., CONH2 and deriva., SH and deriva., SO2H and deriva., NMSO2H and deriva., CF3, OCF3, aryl/heteroaryl/cyclo/polycyclo/alkyl, alkoxy, hetero/aryl, heterocyclyl, alkenyl, alkynyl, adamantyl, etc.; and their racemates, enantiomers, diastereomers, mixts., tautomers and pharmaceutically acceptable salts] were prepared as protein Tau phosphorylation inhibitors.  
 Three standard pharmaceutical compds. are given. For example, II was prepared, in 7 steps, by acylation of 3-amino-6-chloro-1H-indazole with butyryl chloride, protection with [2-(trimethylsilyl)ethoxy]methyl chloride, bromination, Pd-cross coupling of the bromide with phenylboronic acid, amide hydrolysis, reductive alkylation of the 3-aminoindazole intermediate and deprotection. Selected invention compds. I inhibited phosphorylation of protein Tau with an IC50 < 100 µM. Thus, I and their pharmaceutical compds. are useful as kinase inhibitors and for treatment, in particular, of central and peripheral nervous system diseases (no data).  
 IT 670749-54-9P, (6-Chloro-5-phenyl-1H-indazol-3-yl)-(6-methoxypyridin-2-yl)amine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (inhibitor of protein Tau phosphorylation; preparation of aminoindazoles as protein Tau phosphorylation inhibitors for treatment of central and

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:736153 CAPLUS  
 DOCUMENT NUMBER: 137:247690  
 TITLE: Preparation of bisarylamines as potassium channel openers  
 INVENTOR(S): McNaughton-Smith, Grant A.; Amato, George S.  
 PATENT ASSIGNEE(S): Icaegen, Inc., USA  
 SOURCE: PCT Int. Appl., 73 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

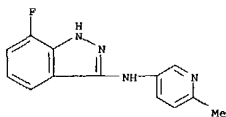
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US 2002193597	A1	20021219	US 2002-95617	20020311
US 6593349	B2	20030715		
GB 2390091	A1	20031231	GB 2003-23676	20020315
PRIORITY APPLN. INFO.:			US 2001-277329P	P 20010319
			US 2002-95617	A 20020311
			WO 2002-US7744	W 20020315

OTHER SOURCE(S): MARPAT 137:247690  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; ring A = (un)substituted aryl, 5-6 membered heteroaryl; ring C = II-III (wherein Z = NR0, S, O; D = N, CR1; Y = halo, R2, OR2; R0-R2 = H, alkyl); X = NR3, O, S; R3 = H, SO2R4, alkyl, cycloalkyl; R4 = alkyl, cycloalkyl], useful in the treatment of diseases through the modulation of potassium ion flux through voltage-dependent potassium channels, were prepared. Thus, reacting benzoxazole IV with phenethylamine in DMSO afforded 57% V. Representative compds. I showed EC50 values from about 5 nM to about 10 µM in KCNQ potassium channel screening assay. More particularly, the invention provides bisarylamines, and methods that are useful in the treatment of central or peripheral nervous system disorders (e.g., migraine, ataxia, Parkinson's disease, bipolar disorders, trigeminal neuralgia, spasticity, mood disorders, brain tumors, psychotic disorders, myokymia, seizures, epilepsy, hearing and vision loss, Alzheimer's disease, age-related memory

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 loss, learning deficiencies, anxiety and motor neuron diseases) and as  
 neuroprotective agents (e.g., to prevent stroke and the like) by opening  
 potassium channels assocd. with the onset or recurrence of the indicated  
 conditions.  
 IT 461043-70-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (Preparation of bisarylamines as potassium channel openers)  
 RN 461043-70-9 CAPLUS  
 CN 1H-Indazol-3-amine, 7-fluoro-N-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX  
 NAME)



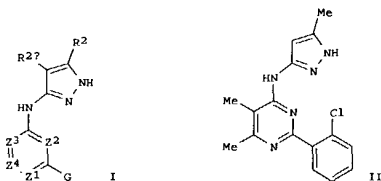
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
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L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 ACCESSION NUMBER: 2002:220584 CAPLUS  
 DOCUMENT NUMBER: 136:247584  
 TITLE: Preparation of pyrazolamines and analogs as protein  
 kinase inhibitors for treatment of cancer, diabetes,  
 and Alzheimer's disease  
 INVENTOR(S): Bebbington, David; Knegetel, Ronald; Golec, Julian M.  
 C.; Li, Ren; Davies, Robert; Charrier, Jean-Damien  
 Vertex Pharmaceuticals Incorporated, USA  
 PATENT ASSIGNMENT(S): PCT Int. Appl., 356 pp.  
 SOURCE: CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 14  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022608	A1	20020321	WO 2001-US42152	20010914
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US 2003055044	A1	20030120	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501		
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ZA 2003001703	A	20040302	ZA 2003-1703	20010914
JP 2004509118	T2	20040325	JP 2002-526861	20010914
US 2004097501	A1	20040520	US 2001-953471	20010914
EP 1345922	A1	20030924	EP 2001-271061	20011219
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JP 2004519479	T2	20040702	JP 2002-567928	20011219

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
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 US 2001-34683 A1 20011220

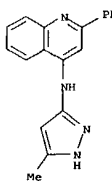
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AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted  
 Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl;  
 Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl,  
 heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3  
 = N or CRX; Z4 = N or CR9; Rx and Ry = independently TR3, or taken  
 together with their intervening atoms form an (un)saturated fused ring  
 having  
 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a =

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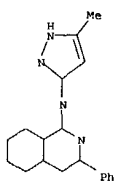
L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or  
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 CR6OCO, C(R6)2NR6CO, C(R6)2NR6CO2, CR6NRR6, CR6NO, C(R6)2NR6NR6,  
 C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted  
 aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR,  
 CO2R, COCOR, COCH2COR, NO2, CN, SO2-2R, N(R4)2, CON(R4)2, SO2N(R4)2,  
 OCOR,  
 NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2,  
 NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),  
 CON(R7)2,  
 or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =  
 independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl  
 or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR,  
 COR, CO2R, COCOR, etc.) were prepd. as protein kinase inhibitors, esp. as  
 inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer,  
 diabetes, and Alzheimer's disease. Claims cover  
 (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and  
 Z3 = N; Z4 = CR9]. Examples include data for approx. 300 invention  
 compds. prepd. by a variety of synthetic methods and bioassay results for  
 the inhibition of GSK-3, Aurora-2, ERK, and Src. For instance, the  
 N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of  
 < 0.1 µM for glycogen synthetase kinase 3β (GSK-3β) and  
 0.1-1.0 µM for Aurora-2.  
 IT 404826-24-OP 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-  
 phenylisoquinolin-1-yl)amine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines  
 and  
 analogs as protein kinase inhibitors for treatment of cancer,  
 diabetes,  
 and Alzheimer's disease)  
 RN 404826-24-0 CAPLUS  
 CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX  
 NAME)



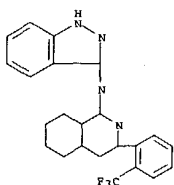
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 INDEX NAME)

10/05/2004

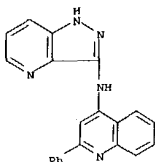
L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



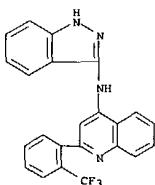
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 and (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)  
 RN 404829-63-6 CAPLUS  
 CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



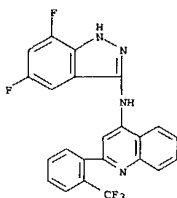
L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-68-1 CAPLUS  
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 404829-69-2 CAPLUS  
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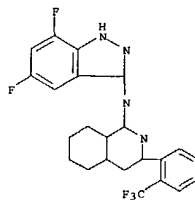


RN 404829-70-5 CAPLUS  
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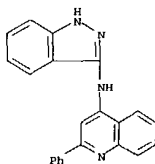
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L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404829-65-8 CAPLUS  
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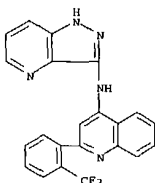


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404829-66-9 CAPLUS  
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)



RN 404829-67-0 CAPLUS  
 CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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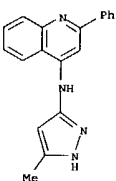
10/05/2004

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2002:220583 CAPLUS  
 DOCUMENT NUMBER: 136:247583  
 TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
 INVENTOR(S): Davies, Robert; Bebbington, David; Knegetel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 373 pp.  
 CODEN: PIXX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 14  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022607	A1	20020321	WO 2001-US28940	20010914
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NZ 526472	A	20040430	NZ 2001-526472	20011219

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CR9; Z4 = N or CR9; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)subst. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TR6; or CR2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6NRR6, CR6NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCON(R4)2, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C.NN(R4)2, C.NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.) were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CR9; Z4 = CR9; G = Ring C]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404826-24-09 404826-25-1P, (5-Methyl-1H-pyrazol-3-yl)-(3-phenylisoquinolin-1-yl)amine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

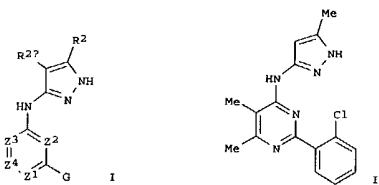


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L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 JP 2004518743 T2 20040624 JP 2002-565976 20011219  
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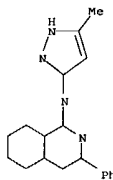
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 WO 2001-US49139 W 20011219  
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OTHER SOURCE(S): MARPAT 136:247583  
 GI



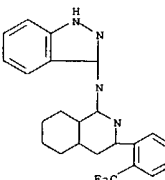
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl;

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 RN 404826-25-1 CAPLUS  
 CN 1-isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404829-63-6 CAPLUS  
 CN 1-isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI)  
 (CA INDEX NAME)



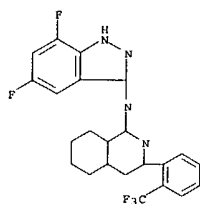
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L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-65-8 CAPLUS

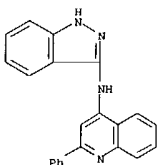
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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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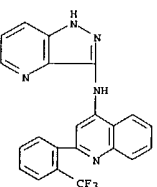
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CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404829-70-5 CAPLUS

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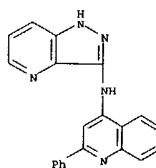


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

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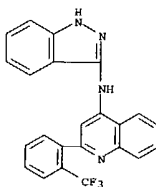
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L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



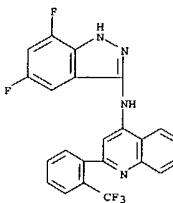
RN 404829-68-1 CAPLUS

CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 404829-69-2 CAPLUS

CN 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:220582 CAPLUS

DOCUMENT NUMBER: 136:247582

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Binch, Hayley; Knegt, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelis; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 355 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022606	A1	20020321	WO 2001-US28803	20010914
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L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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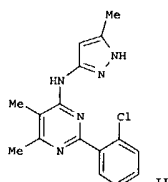
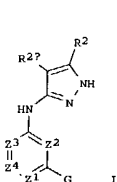
WO 2001-US50312 W 20011219

US 2001-34019 A3 20011220

US 2001-34683 A1 20011220

OTHER SOURCE(S):  
GI

MAPAT 136:247582



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl, Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having

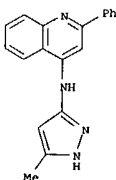
L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6=NR6, CR6=NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-3β, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404826-24-0P 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl) (3-phenyl)isoquinolin-1-ylamine  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404826-24-0 CAPLUS

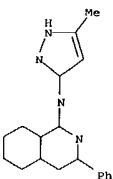
CN 4-Quinolamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404826-25-1 CAPLUS

CN 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)



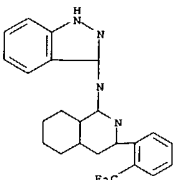
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404829-63-6 CAPLUS

CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

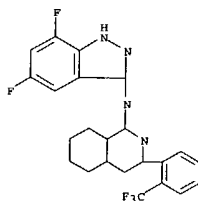


L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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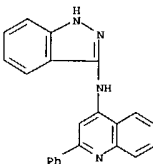
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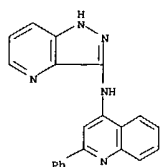
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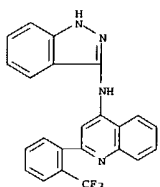
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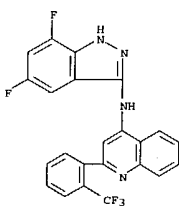
L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-68-1 CAPLUS  
CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI)  
(CA INDEX NAME)



RN 404829-69-2 CAPLUS  
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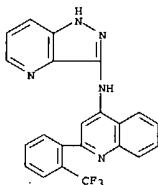
L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:220581 CAPLUS  
DOCUMENT NUMBER: 136:247581  
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegetel, Ronald; Bebbington, David; Davies, Robert; Li, Pan  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 357 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 14  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022605	A1	20020321	WO 2001-US28793	20010914
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L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404829-70-5 CAPLUS  
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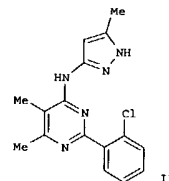
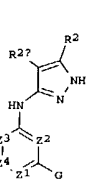


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L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

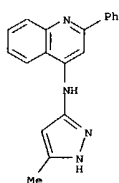
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OTHER SOURCE(S): MARPAT 136:247581  
GI



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR; Z2 = N or CH; Z3 = N or CR; Z4 = N or CR; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO, C(R6)2NR6, CO, CO2, CR6OCO, CR6CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6NR6, CR6NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR,

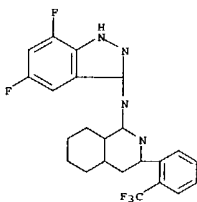
L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
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 OCOR,  
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 CON(R7)2,  
 or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =  
 independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl  
 or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR,  
 COR, CO2R, COCOR, etc.) were prepd. as protein kinase inhibitors, esp. as  
 inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer,  
 diabetes, and Alzheimer's disease. Claims cover pyrazolamines and  
 indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 =  
 N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300  
 invention compds. prepd. by a variety of synthetic methods and bioassay  
 results for the inhibition of GSK-3 $\beta$ , Aurora-2, ERK, and Src. For  
 instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and  
 exhibited  
 Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$   
 (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.  
 IT 404826-24-OP 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-  
 phenylisoquinolin-1-yl)amine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (protein kinase inhibitor; preparation of heterocyclpyrazolamines  
 and  
 analogs as protein kinase inhibitors for treatment of cancer,  
 diabetes,  
 and Alzheimer's disease)  
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 CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX  
 NAME)



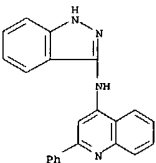
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L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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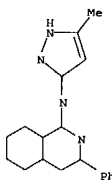


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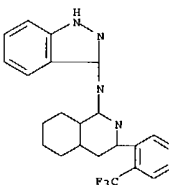


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 INDEX NAME)

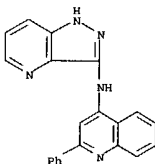
L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



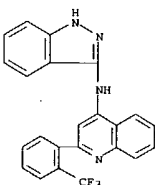
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 (2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-66-9P,  
 (1H-Indazol-3-yl)(2-phenylquinolin-4-yl)amine 404829-67-0P,  
 (2-Phenylquinolin-4-yl)(1H-pyrazolo[4,3-b]pyridin-3-yl)amine  
 404829-68-1P, (1H-Indazol-3-yl)(2-(2-trifluoromethylphenyl)quinoli-  
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 yl)amine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (protein kinase inhibitor; preparation of heterocyclpyrazolamines  
 and  
 analogs as protein kinase inhibitors for treatment of cancer,  
 diabetes,  
 and Alzheimer's disease)  
 RN 404829-63-6 CAPLUS  
 CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-  
 (9CI)  
 (CA INDEX NAME)



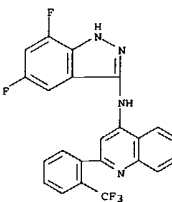
L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-68-1 CAPLUS  
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI)  
 (CA INDEX NAME)

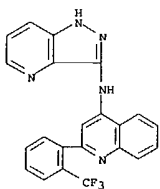


RN 404829-69-2 CAPLUS  
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RN 404829-70-5 CAPLUS  
 CN 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-  
 (trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:220580 CAPLUS  
DOCUMENT NUMBER: 136:247606  
TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.  
INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegetel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int., Appl., 357 pp.  
CODEN: PIXX02  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 14  
PATENT INFORMATION:

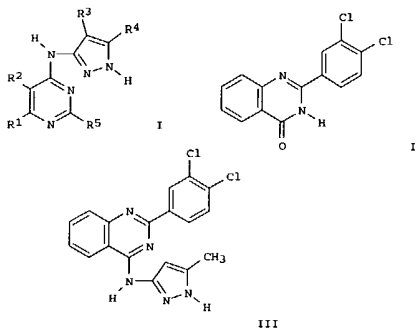
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WO 2002022604	A1	20020321	WO 2001-US28792	20010914
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RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US 2003083327	A1	20030501	US 2001-952833	20010914
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NZ 526472	A	20040430	NZ 2001-526472	20011219

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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			US 2000-257887P	P 20001221
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			WO 2001-US28792	W 20010914
			US 2001-26966	A1 20011219
			WO 2001-US49139	W 20011219
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			US 2001-34019	A3 20011220
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OTHER SOURCE(S): MARPAT 136:247606  
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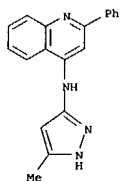
L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



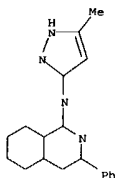
AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclic ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolinone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Ki's reported < 100 nM: GSK-3B (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

IT 404826-24-OP 404826-25-1P 404829-63-6P  
404829-65-8P 404829-66-9P 404829-67-0P  
404829-68-1P 404829-69-2P 404829-70-5P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 RN 404826-24-0 CAPLUS  
 CN 4-Quinololinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

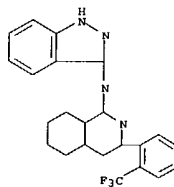


RN 404826-25-1 CAPLUS  
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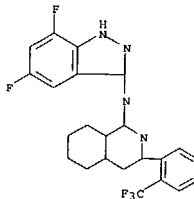


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404829-63-6 CAPLUS  
 CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

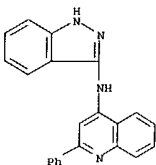


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 RN 404829-65-8 CAPLUS  
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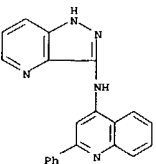


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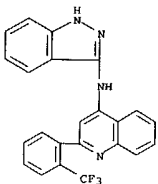
L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-67-0 CAPLUS  
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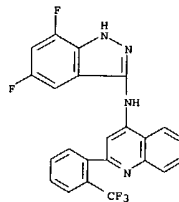


RN 404829-68-1 CAPLUS  
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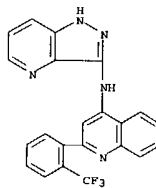


RN 404829-69-2 CAPLUS  
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L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-70-5 CAPLUS  
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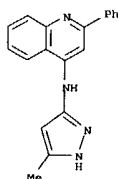
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L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:220579 CAPLUS  
 DOCUMENT NUMBER: 136:247580  
 TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
 INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 406 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 14  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022603	A1	20020321	WO 2001-US28738	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
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US 2003064982	A1	20030403	US 2001-952875	20010914
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JP 2004518743	T2	20040624	JP 2002-565976	20011219
JP 2004519479	T2	20040702	JP 2002-567928	20011219

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 together with their intervening atoms form an (un)substituted fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C3R2R2a = (un)substituted fused ring confg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCO, C(R6)2NR6CO, C(R6)2NR6CO2, CR6NNR6, CR6NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCOR(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R5 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prep. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolinamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRyl. Examples include data for approx. 300 invention compds prep. by a variety of synthetic methods and bioassay results for the inhibition of GSK-3 $\beta$ , Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prep. and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.  
 IT 404826-24-0P 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl) (3-phenyl)isoquinolin-1-ylamine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)  
 RN 404826-24-0 CAPLUS  
 CN 4-Quinolamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

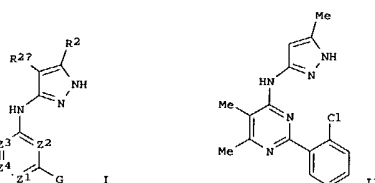


RN 404826-25-1 CAPLUS  
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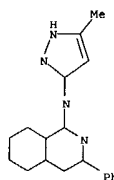
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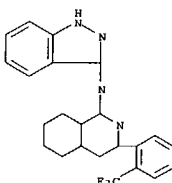


AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CR; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



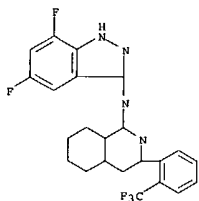
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)  
 RN 404829-63-6 CAPLUS  
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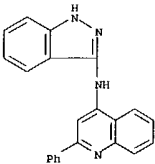
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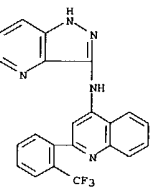
L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
RN 404829-66-9 CAPLUS  
CN 4-Quinololinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)



RN 404829-67-0 CAPLUS  
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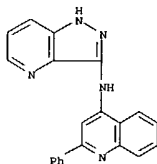


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

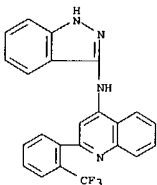
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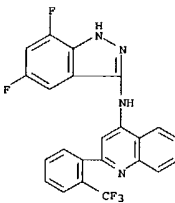
L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-68-1 CAPLUS  
CN 4-Quinololinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 404829-69-2 CAPLUS  
CN 4-Quinololinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:220578 CAPLUS  
DOCUMENT NUMBER: 136:263164  
TITLE: Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
INVENTOR(S): Behbington, David; Knegt, Ronald; Binch, Haley;  
PATENT ASSIGNEE(S): Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien  
SOURCE: Vertex Pharmaceuticals Incorporated, USA  
DOCUMENT TYPE: PCT Int. Appl., 377 pp.  
LANGUAGE: CODEN: PIXXD2  
FAMILY ACC. NUM. COUNT: Patent  
14 English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914
WO 2002022602	A3	20020627		
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US 2003078166	A1	20030424	US 2001-955601	20010914
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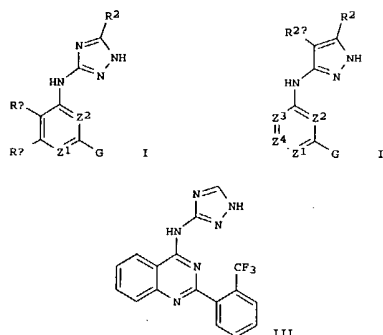
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L4	ANSWER 10 OF 13	CAPLUS	COPYRIGHT 2004 ACS on STN	(Continued)
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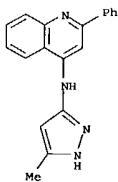
OTHER SOURCE(S): MARPAT 136:263164  
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L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

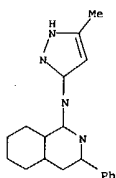


AB Triazolamines I and pyrazolamines II (wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-3R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
(heterocyclyl)triazolamines I (wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above). Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-3 $\beta$ , Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepd. and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 1.0-20  $\mu$ M for Aurora-2.  
IT 404826-24-OP 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl) (3-phenylisoquinolin-1-yl)amine  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(protein kinase inhibitor; preparation of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)  
RN 404826-24-0 CAPLUS  
CN 4-Quinolamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)



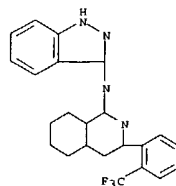
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CN 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)



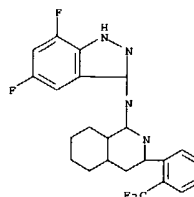
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Hahte

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
oline-1-yl)amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl) [3-(2-trifluoromethylphenyl)]isoquinolin-1-yl)amine 404829-66-9P, (1H-indazol-3-yl) (2-phenylquinolin-4-yl)amine 404829-67-0P, (2-Phenylquinolin-4-yl) (1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404829-68-1P, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)]quinolin-4-yl)amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)]quinolin-4-yl)amine 404829-70-5P, [2-(2-Trifluoromethylphenyl)]quinolin-4-yl) (1H-pyrazolo[4,3-b]pyridin-3-yl)amine  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(protein kinase inhibitor; prepn. of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)  
RN 404829-63-6 CAPLUS  
CN 1-Isoquinolinamine, N-(1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI)  
(CA INDEX NAME)

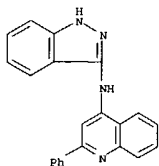


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RN 404829-65-8 CAPLUS  
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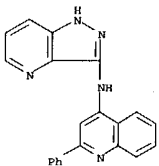


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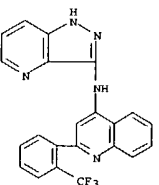
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CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)



RN 404829-67-0 CAPLUS  
CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

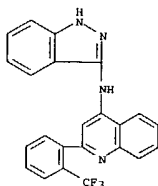


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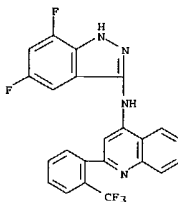


L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



RN 404829-69-2 CAPLUS  
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RN 404829-70-5 CAPLUS  
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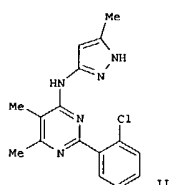
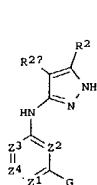
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 2002:220577 CAPLUS  
DOCUMENT NUMBER: 136:247579  
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
INVENTOR(S): Knegetel, Ronald; Hebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannanaker, Marion; Forster, Cornelia; Pierce, Albert  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 376 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 14  
PATENT INFORMATION:

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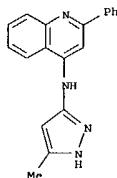
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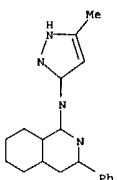
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-3β, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.  
IT 404826-24-OP 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-phenylisoquinolin-1-yl)amine  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)  
RN 404826-24-0 CAPLUS  
CN 4-Quinolamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404826-25-1 CAPLUS  
CN 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

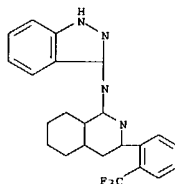


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT 404829-63-6P, (1H-Indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquinoline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-66-9P, (1H-Indazol-3-yl)(2-phenylquinolin-4-yl)amine 404829-67-0P, (2-Phenylquinolin-4-yl)(1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404829-68-1P, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-70-5P, [2-(2-Trifluoromethylphenyl)quinolin-4-yl](1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404858-63-5P 404858-64-6P 404858-65-7P 404858-66-8P 404858-67-9P 404858-68-0P 404858-69-1P 404858-70-4P 404858-71-5P 404858-72-6P 404858-73-7P 404858-74-8P 404858-75-9P 404858-76-0P 404858-77-1P 404858-78-2P 404858-79-3P 404858-80-6P 404858-81-7P 404858-82-8P 404858-83-9P 404858-84-0P 404858-85-1P 404858-86-2P 404858-87-3P 404858-88-4P 404858-89-5P 404858-90-6P 404858-91-9P 404858-92-0P 404858-93-1P 404858-94-2P 404858-95-3P 404858-96-4P 404858-97-5P 404858-98-6P 404858-99-7P 404859-00-3P

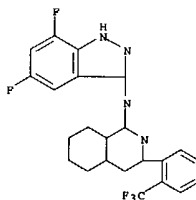
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404859-01-4P 404859-02-5P 404859-03-6P 404859-04-7P 404859-05-8P 404859-06-9P 404859-07-0P 404859-08-1P 404859-09-2P 404859-10-5P 404859-11-6P 404859-12-7P 404859-13-8P 404859-14-9P 404859-15-0P 404859-16-1P 404859-17-2P 404860-48-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(protein kinase inhibitor; prepn. of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)  
RN 404829-63-6 CAPLUS  
CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

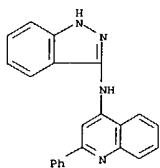
IT 404829-65-8 CAPLUS  
CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



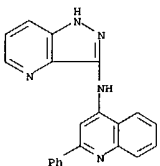
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-66-9 CAPLUS  
CN 4-Quinolamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

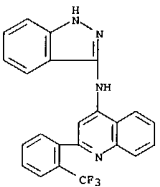
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



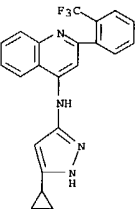
RN 404829-67-0 CAPLUS  
 CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)



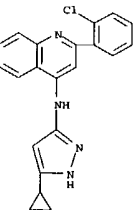
RN 404829-68-1 CAPLUS  
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



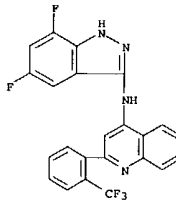
RN 404858-64-6 CAPLUS  
 CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



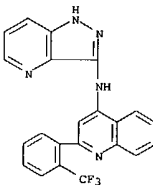
RN 404858-65-7 CAPLUS  
 CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404829-69-2 CAPLUS  
 CN 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

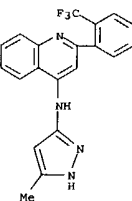


RN 404829-70-5 CAPLUS  
 CN 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

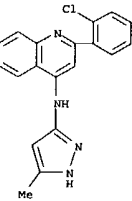


RN 404858-63-5 CAPLUS  
 CN 4-Quinolinamine, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

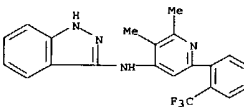
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404858-66-8 CAPLUS  
 CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

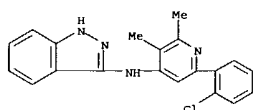


RN 404858-67-9 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2,3-dimethyl-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

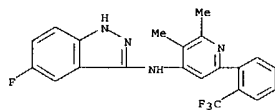


RN 404858-68-0 CAPLUS  
 CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-2,3-dimethyl-4-pyridinyl]- (9CI) (CA INDEX NAME)

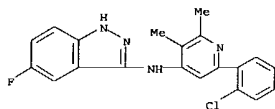
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



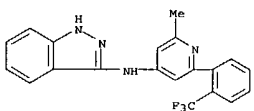
RN 404858-69-1 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2,3-dimethyl-6-(2-(trifluoromethyl)phenyl)-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



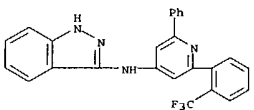
RN 404858-70-4 CAPLUS  
 CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-2,3-dimethyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



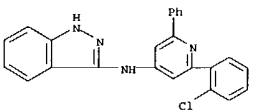
RN 404858-71-5 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-methyl-6-(2-(trifluoromethyl)phenyl)-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



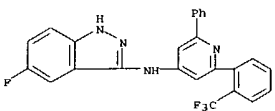
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



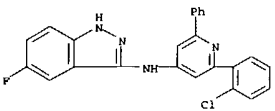
RN 404858-76-0 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-phenyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



RN 404858-77-1 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-phenyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



RN 404858-78-2 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-phenyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

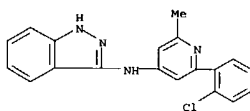


RN 404858-79-3 CAPLUS  
 CN 4-Quinolinamine, 5,6,7,8-tetrahydro-N-(5-fluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

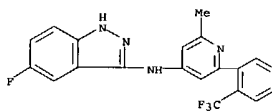
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L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

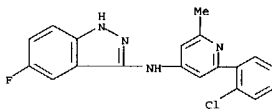
RN 404858-72-6 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-methyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



RN 404858-73-7 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-methyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

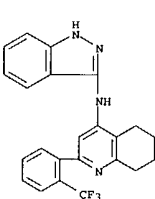


RN 404858-74-8 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-methyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

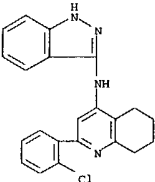


RN 404858-75-9 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-phenyl-6-(2-(trifluoromethyl)phenyl)-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

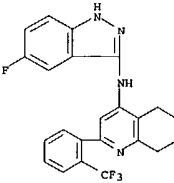
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404858-80-6 CAPLUS  
 CN 4-Quinolinamine, 2-(2-chlorophenyl)-5,6,7,8-tetrahydro-N-(1H-indazol-3-yl)- (9CI) (CA INDEX NAME)



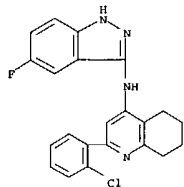
RN 404858-81-7 CAPLUS  
 CN 4-Quinolinamine, N-(5-fluoro-1H-indazol-3-yl)-5,6,7,8-tetrahydro-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



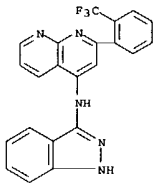
RN 404858-82-8 CAPLUS  
 CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-fluoro-1H-indazol-3-yl)-5,6,7,8-

10/05/2004

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
tetrahydro- (9CI) (CA INDEX NAME)

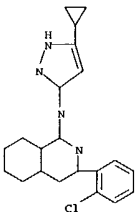


RN 404858-83-9 CAPLUS  
CN 1,8-Naphthyridin-4-amine,  
N-[2-(2-chlorophenyl)phenyl]-  
2-(2-(trifluoromethyl)phenyl)-  
(9CI) (CA INDEX NAME)

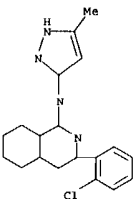


RN 404858-84-0 CAPLUS  
CN 1H-Indazol-3-amine, N-[3,4-dimethyl-6-(2-(trifluoromethyl)phenyl)-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
CN 1-Isoquinolinamine, 3-(2-chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

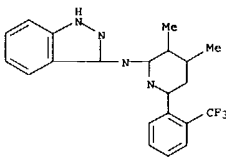


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
RN 404858-88-4 CAPLUS  
CN 1-Isoquinolinamine, 3-(2-chlorophenyl)-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

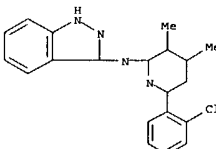


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
RN 404858-89-5 CAPLUS  
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-3,4-dimethyl-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

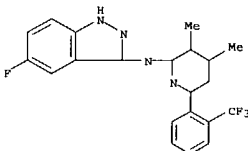
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
RN 404858-85-1 CAPLUS  
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-3,4-dimethyl-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

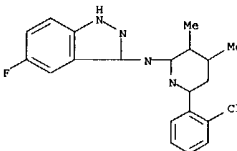


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
RN 404858-86-2 CAPLUS  
CN 1H-Indazol-3-amine, N-[3,4-dimethyl-6-(2-(trifluoromethyl)phenyl)-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

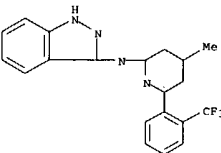


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
RN 404858-87-3 CAPLUS

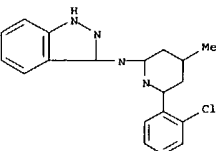
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
RN 404858-90-8 CAPLUS  
CN 1H-Indazol-3-amine, N-[4-methyl-6-(2-(trifluoromethyl)phenyl)-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

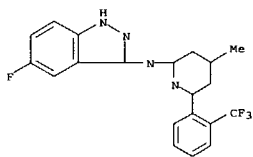


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
RN 404858-91-9 CAPLUS  
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-methyl-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
RN 404858-92-0 CAPLUS  
CN 1H-Indazol-3-amine, 5-fluoro-N-[4-methyl-6-(2-(trifluoromethyl)phenyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

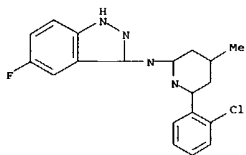
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-93-1 CAPLUS

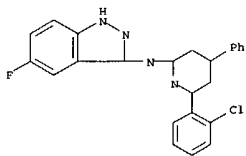
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-methyl-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-94-2 CAPLUS

CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-phenyl-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-95-3 CAPLUS

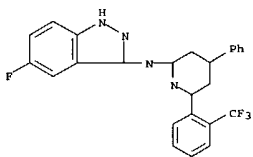
CN 1-Isoquinolinamine, 3-(2-chlorophenyl)-N-(5-fluoro-1H-indazol-3-yl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-98-6 CAPLUS

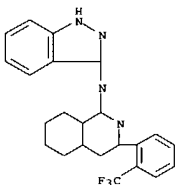
CN 1H-Indazol-3-amine, 5-fluoro-N-[4-phenyl-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-99-7 CAPLUS

CN 1-Isoquinolinamine, 5,6,7,8-tetrahydro-N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

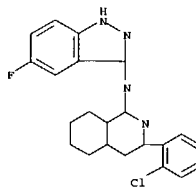


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404859-00-3 CAPLUS

CN 1-Isoquinolinamine, 3-(2-chlorophenyl)-5,6,7,8-tetrahydro-N-1H-indazol-3-yl- (9CI) (CA INDEX NAME)

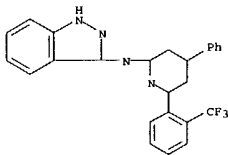
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-96-4 CAPLUS

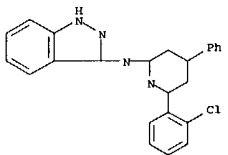
CN 1H-Indazol-3-amine, N-[4-phenyl-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



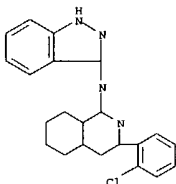
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-97-5 CAPLUS

CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-phenyl-2-pyridinyl]- (9CI) (CA INDEX NAME)



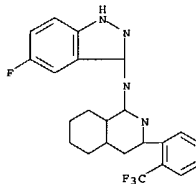
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404859-01-4 CAPLUS

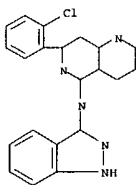
CN 1-Isoquinolinamine, N-(5-fluoro-1H-indazol-3-yl)-5,6,7,8-tetrahydro-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



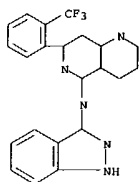
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404859-02-5 CAPLUS

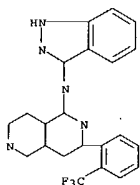
CN 1,6-Naphthyridin-5-amine, 7-(2-chlorophenyl)-N-1H-indazol-3-yl- (9CI) (CA INDEX NAME)



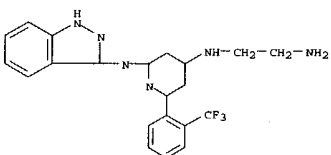
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404859-03-6 CAPLUS  
 CN 1,6-Naphthyridin-5-amine,  
 N-1H-indazol-3-yl-7-[2-(trifluoromethyl)phenyl]-  
 (9CI) (CA INDEX NAME)



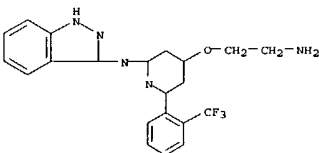
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404859-04-7 CAPLUS  
 CN 2,6-Naphthyridin-1-amine,  
 N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-  
 (9CI) (CA INDEX NAME)



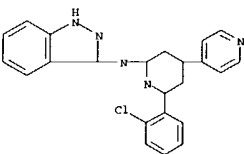
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404859-05-8 CAPLUS  
 CN 1,7-Naphthyridin-8-amine,  
 N-1H-indazol-3-yl-6-[2-(trifluoromethyl)phenyl]-  
 (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404859-09-2 CAPLUS  
 CN 1H-Indazol-3-amine, N-[4-(2-aminoethoxy)-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



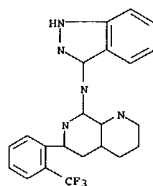
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404859-10-5 CAPLUS  
 CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)



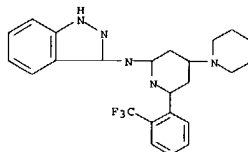
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404859-11-6 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-cyclohexyl-6-[2-(trifluoromethyl)phenyl]-4-

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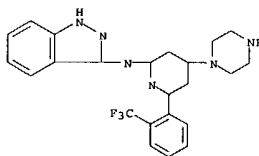
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404859-06-9 CAPLUS  
 CN 1H-Indazol-3-amine, N-[4-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

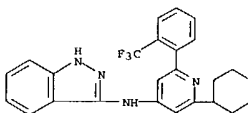


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 404859-07-0 CAPLUS  
 CN 1H-Indazol-3-amine, N-[4-(1-piperazinyl)-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

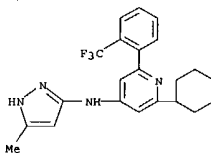


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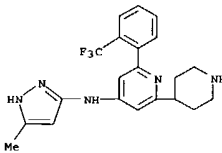
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 pyridinyl]- (9CI) (CA INDEX NAME)



RN 404859-12-7 CAPLUS  
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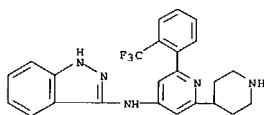
RN 404859-13-8 CAPLUS  
 CN 4-Pyridinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-piperidinyl)-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



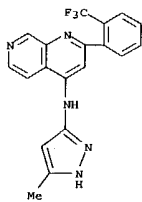
RN 404859-14-9 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-(4-piperidinyl)-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

10/05/2004

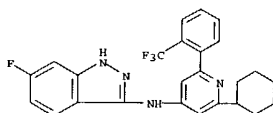
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404859-15-0 CAPLUS  
CN 1,7-Naphthyridin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 404859-16-1 CAPLUS  
CN 1H-Indazol-3-amine, N-[2-cyclohexyl-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]-6-fluoro- (9CI) (CA INDEX NAME)



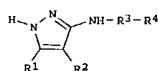
RN 404859-17-2 CAPLUS  
CN 1,7-Naphthyridin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:171863 CAPLUS  
DOCUMENT NUMBER: 136:232297  
TITLE: Preparation of pyrazole derivatives and their use as protein kinase inhibitors  
INVENTOR(S): Cooper, Christopher Blair; Helal, Christopher John; Sanner, Mark Allen; Wager, Travis T.  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: PCT Int. Appl., 98 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: English  
PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018346	A1	20020307	WO 2001-IB1540	20010824
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GM, GR, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, RU, CG, CI, CM, CA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001080009	AS	20020313	AU 2001-80009	20010824
EP 1313710	A1	20030528	EP 2001-958287	20010824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013574	A	20030722	BR 2001-13574	20010824
JP 2004507526	T2	20040311	JP 2002-523464	20010824
US 2002103185	A1	20020801	US 2001-941001	20010828
BG 107455	A	20030930	BG 2003-107455	20030113
HR 2003000140	A1	20030430	HR 2003-140	20030226
NO 2003000958	A	20030228	NO 2003-958	20030228
PRIORITY APPLN. INFO.:			US 2000-229415P	P 20000831
			US 2000-232032P	P 20000912
			WO 2001-IB1540	W 20010824

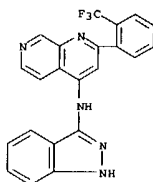
OTHER SOURCE(S): MARPAT 136:232297  
GI



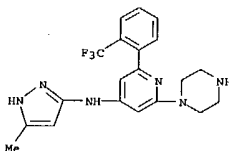
AB Pyrazole derivs. [I; wherein R1 = straight chain or branched (C1-C11)alkyl, (C2-C8)alkenyl, (C2-C8)alkynyl, (C3-C8)cycloalkyl, (C4-C8)cycloalkenyl,

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L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



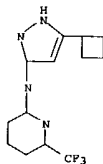
RN 404860-48-6 CAPLUS  
CN 4-Pyridinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(1-piperazinyl)-6-(trifluoromethyl)phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

(3-8 membered) heterocycloalkyl, (C5-C11)bicycloalkyl, (C7-C11)bicycloalkenyl, or (5-11 membered) heterobicycloalkyl; R2 = H, F, -CH3, -CN, or carboxy; R3 = amide, carboxy, etc.; R4 = straight chain or branched (C1-C8)alkyl, (C2-C8)alkenyl, (C2-C8)alkynyl, (C3-C8)cycloalkyl, (C4-C8)cycloalkenyl, (3-8 membered) heterocycloalkyl, (C5-C11)bicycloalkyl, (C7-C11)bicycloalkenyl, (5-11 membered) heterobicycloalkyl, (C6-C14)aryl, or (5-14 membered) heteroaryl] were prep. Thus, lithiated cyclobutyl ketone was reacted with 4-nitrophenyl isothiocyanate to give 53% 3-cyclobutyl-N-(4-nitrophenyl)-3-oxo-thiopropionamide, which was reacted with acetic acid, followed by anhyd. hydrazine to give 88% (5-cyclobutyl-1H-pyrazol-3-yl)-(4-nitrophenyl)amine. The prep. compds. are indicated to have activity inhibiting cdk2, cdk5, and GSK-3. In fact, all of the title compds. had an IC50 inhibiting peptide substrate phosphorylation of < 50 μM when assayed for cdk5 inhibition, and several had an IC50 for inhibition of GSK-3β of < 50 μM.  
IT 403595-56-2P 403595-63-1P 403595-64-2P 403595-65-3P 403597-00-2P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of pyrazole derivs. and use as protein kinase inhibitors)  
RN 403595-56-2 CAPLUS  
CN 2-Pyridinamine, N-(5-cyclobutyl-1H-pyrazol-3-yl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

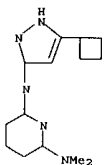


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 403595-63-1 CAPLUS  
CN 2,6-Pyridinediamine, N'-(5-cyclobutyl-1H-pyrazol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

10/05/2004

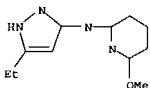
L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 403595-64-2 CAPLUS

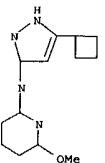
CN 2-Pyridinamine, N-(5-ethyl-1H-pyrazol-3-yl)-6-methoxy- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 403595-65-3 CAPLUS

CN 2-Pyridinamine, N-(5-cyclobutyl-1H-pyrazol-3-yl)-6-methoxy- (9CI) (CA INDEX NAME)



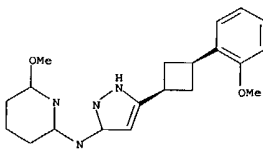
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 403597-00-2 CAPLUS

CN 2-Pyridinamine, N-(5-(4-methoxyphenyl)cyclobutyl)-1H-pyrazol-3-yl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1974:3518 CAPLUS  
 DOCUMENT NUMBER: 80:3518  
 TITLE: Substituted 4-(indazolamino)quinolines  
 INVENTOR(S): Wasley, Jan W. P.; Wajngurt, Abraham  
 PATENT ASSIGNEE(S): Ciba-Geigy Corp.  
 SOURCE: U.S., 15 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3755332	A	19730828	US 1971-159061	19710701
PRIORITY APPLN. INFO.:			US 1968-725176	19680429
			US 1969-818044	19690421

GI For diagram(s), see printed CA Issue.

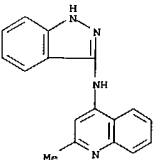
AB About 45 quinolinaminoindazoles I (R = H, Me, CO<sub>2</sub>H, Ph, etc.; R<sub>1</sub> = H, CO<sub>2</sub>Et; R<sub>2</sub> = H, 7-F3C, 7-Cl; R<sub>3</sub> = H, Me; R<sub>4</sub> = H, 3-Cl; the quinolinamino group attached at the 3, 5, and 6 position of the indazole) were prepared. Thus, 6-aminoindazole was treated with 4,7-dichloroquinoline to give I (R = R<sub>1</sub> = R<sub>3</sub> = R<sub>4</sub> = H, R<sub>2</sub> = Cl, the quinolinamino group attached at the 6-position of the indazole). I were antiinflammatory, antihypertensive, and antimalarial at 10-400 mg/kg.

IT 50592-90-0P 50592-91-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 50592-90-0 CAPLUS

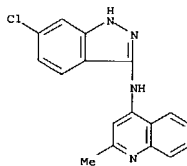
CN 4-Quinolinamine, N-(6-chloro-1H-indazol-3-yl)-2-methyl- (9CI) (CA INDEX NAME)



RN 50592-91-1 CAPLUS

CN 4-Quinolinamine, N-(6-chloro-1H-indazol-3-yl)-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



10/736,426

Page 3

G1:C,O,S,N,Cb,Ak

G2:C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Match level :

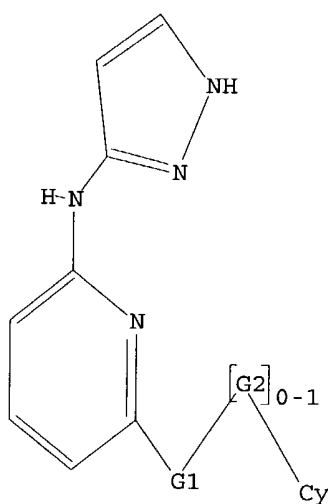
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11:Atom 12:Atom 13:Atom 15:CLASS 16:Atom 17:Atom

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,O,S,N,Cb,Ak

G2 C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:43:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 112 TO ITERATE

100.0% PROCESSED 112 ITERATIONS

SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1606 TO 2874

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:44:05 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2199 TO ITERATE

Habte

10/05/2004

100.0% PROCESSED 2199 ITERATIONS  
SEARCH TIME: 00.00.01

3 ANSWERS

L3 3 SEA SSS FUL L1

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 13:44:11 ON 05 OCT 2004

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FILE COVERS 1907 - 5 Oct 2004 VOL 141 ISS 15

FILE LAST UPDATED: 4 Oct 2004 (20041004/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

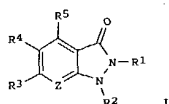
=&gt; s l3

L4 1 L3

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2004:370926 CAPLUS  
 DOCUMENT NUMBER: 140:391292  
 TITLE: Preparation of indazolinone compositions useful as kinase inhibitors  
 INVENTOR(S): Aronov, Alex; Lauffer, David J.; Li, Huan Qui;  
 Tomlinson, Ronald Charles; Li, Pan  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 260 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037814	A1	20040506	WO 2003-US34065	20031027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, BG, BR, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004167121	A1	20040826	US 2003-694534	20031027
PRIORITY APPLN. INFO.:			US 2002-421398P	P 20021025
OTHER SOURCE(S): MARPAT 140:391292				
GI				

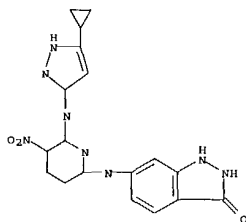


AB The present invention provides compds. of formula (I). (Wherein R1, R2 = H or a nitrogen protecting group; one of R3 or R4 = R and the other one of R3 or R4 = -O1-A-O2-Y; wherein O1 = a valence bond, NRA, C(Ra)2, S, O, SO2, NRA-SO2, SO2NRA, CO, NRA-CO, CONRA, OC(O), C(O)O, OC(O)NRA, 1,2-cyclopropanediy1, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, optionally substituted C2-4 alkylidene, etc.; wherein Ra = H, each

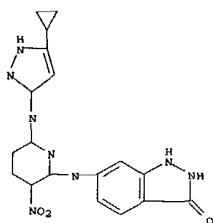
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 optionally substituted C1-4 aliph.; A = optionally substituted 5-to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heteroaryl, heterocyclic, carbocyclic ring, or C2-6 alkylidene, etc.; Q2 = NRA, SO, O, or C(Rc)2; wherein Rc = H, optionally substituted C1-4 aliph.; Y = each optionally substituted 5- to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heteroaryl, heterocyclic, or carbocyclic ring; R5 = R; Z = N, CR6; wherein R6 = R; R = H, halo, Q-halogen, cyano, Q-CN, NO2, Q-NO2, R7, Q-R7; Q = optionally substituted C1-4 alkylidene; wherein one or more methylene units of Q is optionally replaced by O, S, NR7, NR7CO, NR7CONR7, NR7CO2, CO, CO2, CONR7, OC(O)NR7, SO2, SO2NR7, NR7SO2, NR7SO2NR7, C(O)C(O), or C(O)C(R7)2C(O); wherein R7 = H, each optionally substituted aliph., heteroaliph., aryl or heteroaryl. The compds. I and pharmaceutically acceptable compns. thereof, are useful generally as protein kinase inhibitors, particularly as inhibitors of protein kinase PRAK, protein kinase GSK3, protein kinase ERK2, protein kinase CDK2, MAP kinase-activated protein kinase 2 (MK2), SRC kinase, protein kinase SYK, and protein kinase Aurora-2. Accordingly, the compds. I and compns. of the invention are useful for treating or lessening the severity of a disease or condition selected from cardiovascular disease, diabetes, neurol. disorders (e.g. Alzheimer's disease), immunodeficiency disorders, inflammatory diseases, allergic diseases, autoimmune diseases, destructive bone disorders such as osteoporosis, proliferative disorders, infectious diseases, and viral diseases. Thus, a soln. of (2-chloroquinazolin-4-yl)(5-cyclopropyl-1H-pyrazol-3-yl)amine (50.0 mg, 0.175 mmol) and 6-amino-3-oxo-2,3-dihydroindazole-1-carboxylic acid tert-Bu ester (69.8 mg, 0.290 mmol) in NMP (1.0 mL) was heated up to 100° for 6 h to give, after workup, acidification with CF3CO2H, and HPLC purific., 6-[[4-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]quinazolin-2-yl]amino]-1,2-dihydroindazol-3-one trifluoroacetate. Some compds. of the formula I were shown to have Ki of <0.1 µM for GSK-3 and Aurora-2 and <1.0 µM for CDK-2, ERK2, PRAK, SRC, SYK, and MK2.

IT 685867-13-49, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-15-69, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-16-79, 6-[[5-Amino-6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]pyridin-2-yl]amino]-1,2-dihydroindazol-3-one  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 [Preparation of indazolinone derivs. as kinase inhibitors for treating or lessening severity of diseases or conditions]  
 RN 685867-13-4 CAPLUS  
 CN 3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

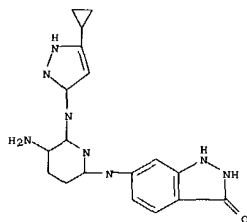


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 685867-15-6 CAPLUS  
 CN 3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 685867-16-7 CAPLUS  
 CN 3H-Indazol-3-one, 6-[[5-amino-6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

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FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:41:02 ON 05 OCT 2004

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STRUCTURE FILE UPDATES: 4 OCT 2004 HIGHEST RN 756793-93-8

DICTIONARY FILE UPDATES: 4 OCT 2004 HIGHEST RN 756793-93-8

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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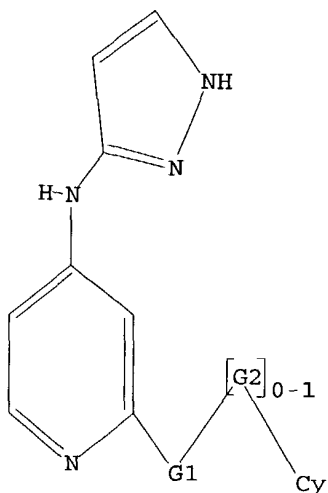
Uploading C:\Program Files\Stnexp\Queries\10736426bb.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,O,S,N,Cb,Ak

G2 C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:41:26 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 215 TO ITERATE

100.0% PROCESSED 215 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 3421 TO 5179  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:41:42 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 4063 TO ITERATE

100.0% PROCESSED 4063 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
155.42	155.63

FULL ESTIMATED COST

STN INTERNATIONAL LOGOFF AT 13:41:47 ON 05 OCT 2004